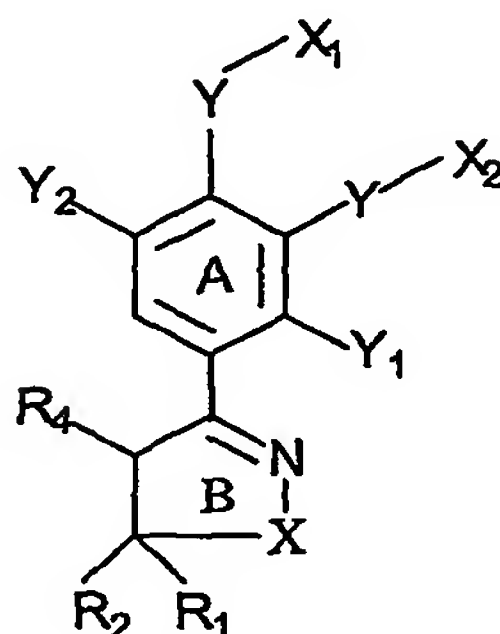


We Claim:

1. Compounds having the structure of Formula I:



Formula I

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides wherein

1) when X is oxygen in Formula I:

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

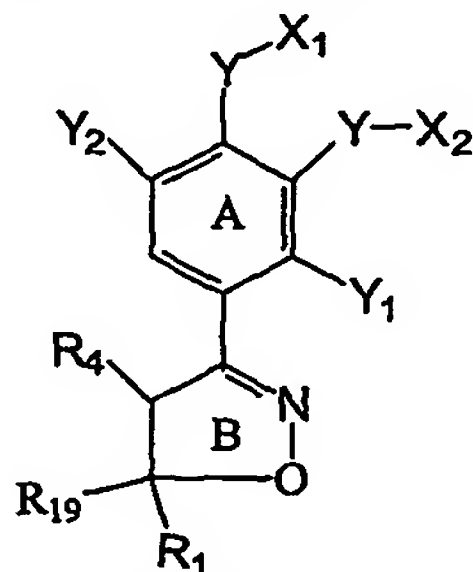
[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,

- 31 optionally substituted amino (wherein the substituents are selected from C₁-C₆
32 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
33 C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen,
34 alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted
35 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
36 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
37 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
38 heterocyclylalkyl];
- 39 R₂ is selected from: cyano; heteroaryl; heterocyclyl; or (CH₂)_nNHCOR₇ (wherein n
40 represents an integer 1 to 6 and R₇ can represent hydrogen, alkyl, alkenyl, alkynyl,
41 (un)saturated, cycloalkyl, alkoxy, aryloxy, aryl, aralkyl, heteroaryl, heterocyclyl,
42 (CH₂)₁₋₄OR' wherein R' is the same as defined above, or NR_xR_y wherein R_x and R_y are the
43 same as defined above);
- 44 R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein
45 R_x and R_y are the same as defined above;
- 46 X₁ and X₂ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
47 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
- 48 Y is selected from: an oxygen atom; a sulphur atom; or NR
49 (wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated)
50 cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or
51 (heterocyclyl)alkyl);
- 52 Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
53 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
54 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
55 as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring
56 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
57 heteroatoms selected from N, O or S; and
- 58 2) when X is NR₈ or S wherein R₈ is hydrogen, lower alkyl (C₁-C₆) or aryl:
- 59 R₁, R₄, X₁, X₂, Y, Y₁ and Y₂ are the same as defined above;

60 R_2 is selected from: $(CH)_nNHCOR_7$ (wherein n represents an integer 1 to 6 and R_7 is the
61 same as defined above),

62 with the proviso that when R_2 is heterocyclyl, R_1 can not be $(CH_2)_{1-4}OR'$, $C(=O)NR_xR_y$ or
63 $(CH_2)_m-C(=O)R_3$.

1 2. A compound having the structure of Formula XXXIV,



Formula XXXIV

8 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,
9 enantiomers, diastereomers or N-oxides

10 wherein

11 R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;
12 substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

13 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,
14 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

15 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$
16 (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

17 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}
18 alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
19 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

20 $(CH_2)_m-C(=O)R_3$

21 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted
22 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
23 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
24 ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered
25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
26 from the group consisting of N, O and S wherein the ring can be attached to

(CH₂)_mC(=O) through C) and wherein the substituents of R₃ can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C₁-C₆ alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein R_x and R_y are the same as defined above;

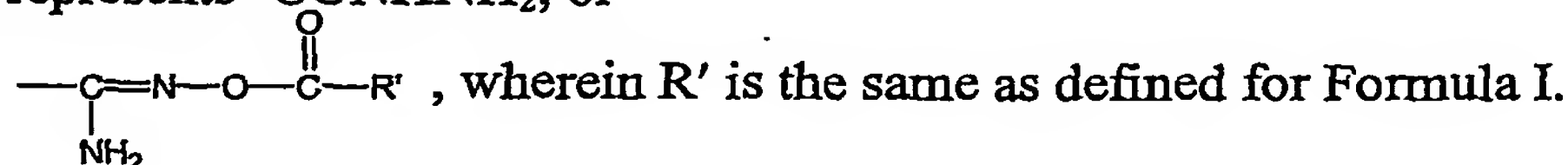
X₁ and X₂ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y is selected from: an oxygen atom; a sulphur atom; or NR

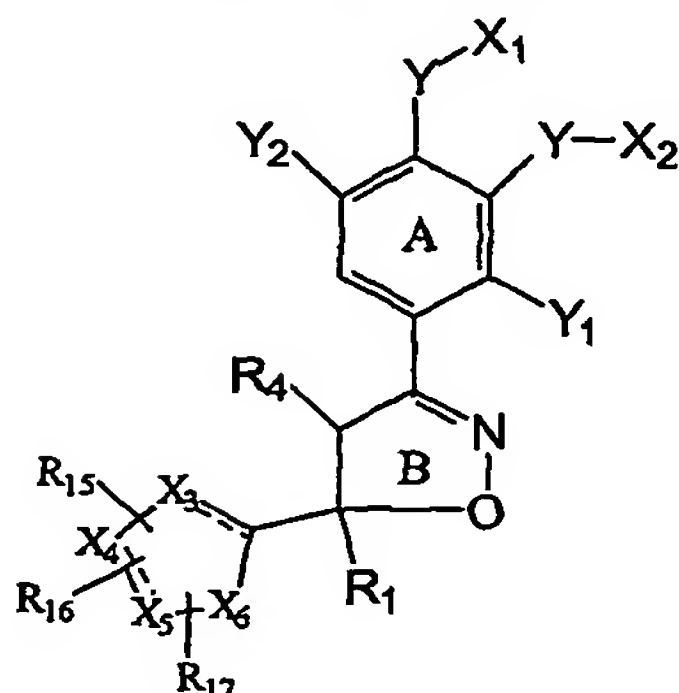
(wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated) cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or (heterocyclyl)alkyl);

Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S; and

R₁₉ represents -CONHNH₂, or



3. The compound of claim 1 having the structure of Formula XXXII,



Formula XXXII

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides wherein

wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,

84 optionally substituted amino (wherein the substituents are selected from C₁-C₆
85 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
86 C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen,
87 alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted
88 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
89 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
90 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
91 heterocyclalkyl];

92 R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein
93 R_x and R_y are the same as defined above;

94 Y is selected from: an oxygen atom; a sulphur atom; or NR
95 (wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated)
96 cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or
97 (heterocyclalkyl);

98 Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
99 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
100 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
101 as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring
102 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
103 heteroatoms selected from N, O or S;

104 X₁ represents alkyl;

105 X₂ represents alkyl, cycloalkyl or aralkyl;

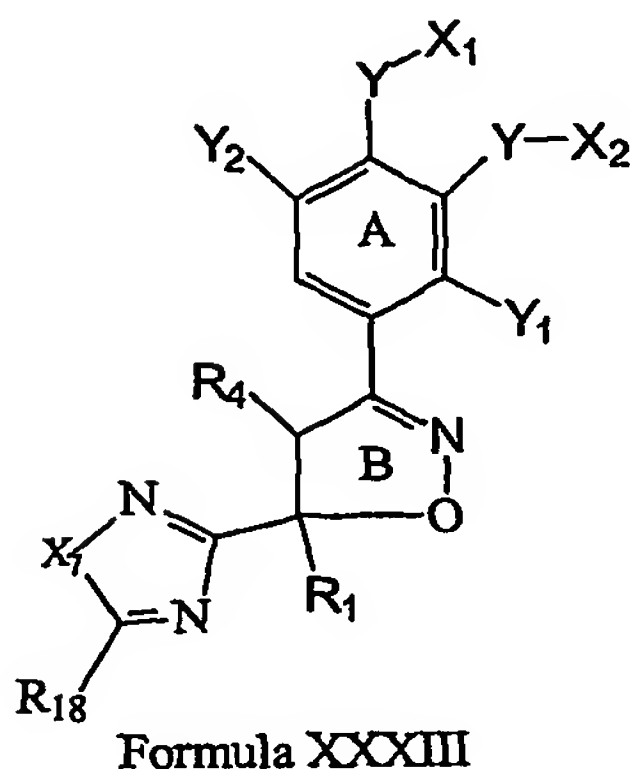
106 X₃, X₄, X₅ and X₆ independently represent C, CH, CH₂, CO, CS, NH, N, O, S; R₁₅,

107 R₁₆, and R₁₇ independently represent no atom, alkyl, COCH₃, COOC₂H₅, NH₂,

108 NH-cyclopropyl, CN, SH; and

109 ---- represents an optional single bond.

4. The compound of claim 1 having the structure of Formula XXIII,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides wherein

wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,

optionally substituted amino (wherein the substituents are selected from C₁-C₆ alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein R_x and R_y are the same as defined above;

X₁ and X₂ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y is selected from: an oxygen atom; a sulphur atom; or NR (wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated) cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or (heterocyclyl)alkyl);

Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

X₇ represents O or S; and

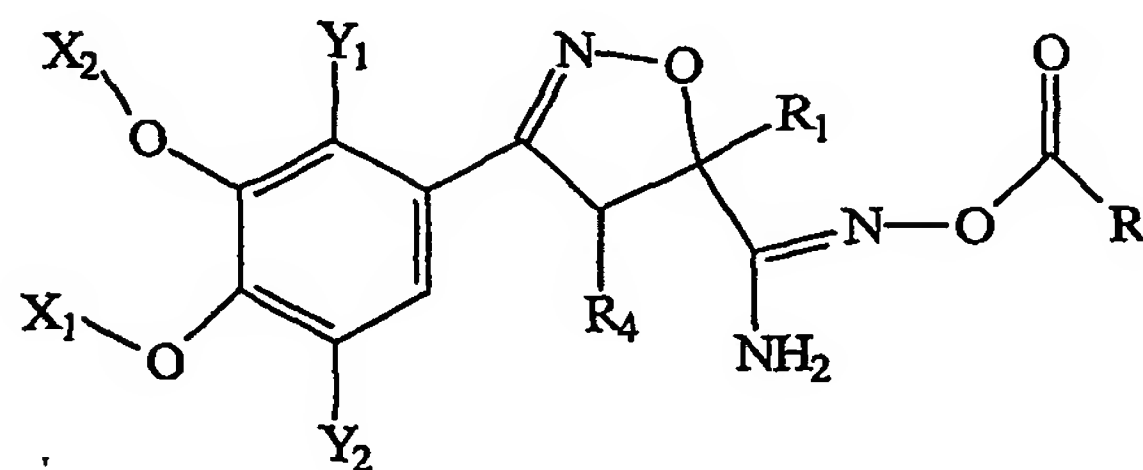
R₁₈ represents hydrogen, alkyl, aryl, heteroaryl, cycloalkyl or heterocyclyl.

5. The compound of claim 1 wherein R₂ is cyano.

6. The compound of claim 1 wherein R₂ is (CH₂)_nNHCOR₇, n represents an integer 1 to 6; and R₇ can represent hydrogen, alkyl, alkenyl, alkynyl, (un)saturated, cycloalkyl, alkoxy, aryloxy, aryl, aralkyl, heteroaryl, heterocyclyl, (CH₂)₁₋₄OR' wherein R' is the same as defined above, or NR_xR_y (wherein R_x and R_y can be independently selected from

- 5 hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl,
6 heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclalkyl).
- 1 7. The compound of claim 1 wherein R₂ is 6-membered heteroaryl.
- 1 8. A pharmaceutical composition comprising a therapeutically effective amount of a
2 compound of claim 1, together with at least one pharmaceutically acceptable
3 carrier, excipient or diluent.
- 1 9. A method for treating, preventing, inhibiting or suppressing an inflammatory
2 condition or disease in a patient, comprising administering to the said patient a
3 therapeutically effective amount of a compound of claim 1.
- 1 10. A method for treating, preventing, inhibiting or suppressing an inflammatory
2 condition or disease in a patient, comprising administering to the said patient a
3 therapeutically effective amount of a pharmaceutical composition of claim 8.
- 1 11. A method for the treatment, prevention, inhibition or suppression of AIDS, asthma,
2 arthritis, bronchitis, chronic obstructive pulmonary disease (COPD), psoriasis,
3 allergic rhinitis, shock, atopic dermatitis, crohn's disease, adult respiratory distress
4 syndrome (ARDS), eosinophilic granuloma, allergic conjunctivitis, osteoarthritis,
5 ulcerative colitis and other inflammatory diseases in a patient comprising
6 administering to said patient a therapeutically effective amount of a compound of
7 claim 1.
- 1 12. A method for the treatment, prevention, inhibition or suppression of AIDS, asthma,
2 arthritis, bronchitis, chronic obstructive pulmonary disease (COPD), psoriasis,
3 allergic rhinitis, shock, atopic dermatitis, crohn's disease, adult respiratory distress
4 syndrome (ARDS), eosinophilic granuloma, allergic conjunctivitis, osteoarthritis,
5 ulcerative colitis and other inflammatory diseases in a patient comprising
6 administering to said patient a therapeutically effective amount of a pharmaceutical
7 composition of claim 8.

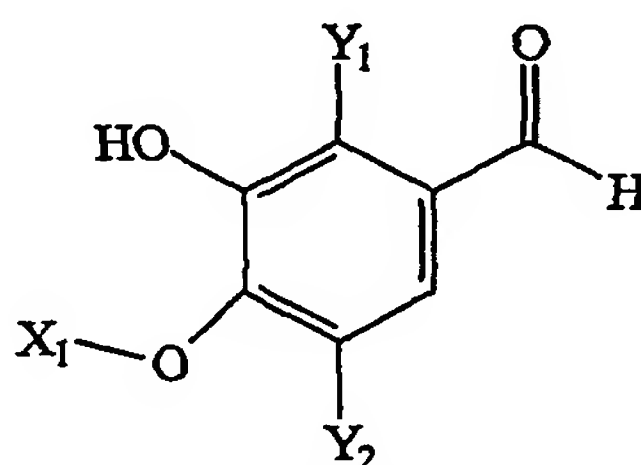
13. A method for the preparation of compounds of Formula VII (a),



Formula VII(a)

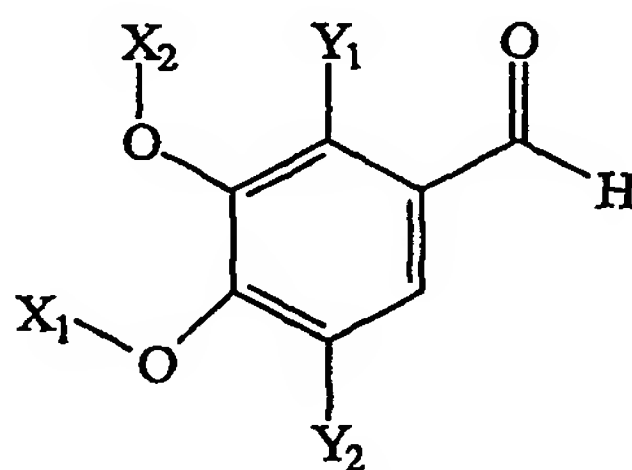
their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula II



Formula II

with a compound of Formula X₂Z (wherein Z is halogen) to give a compound of Formula III, wherein



Formula III

X₁ and X₂ are independently selected from: alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

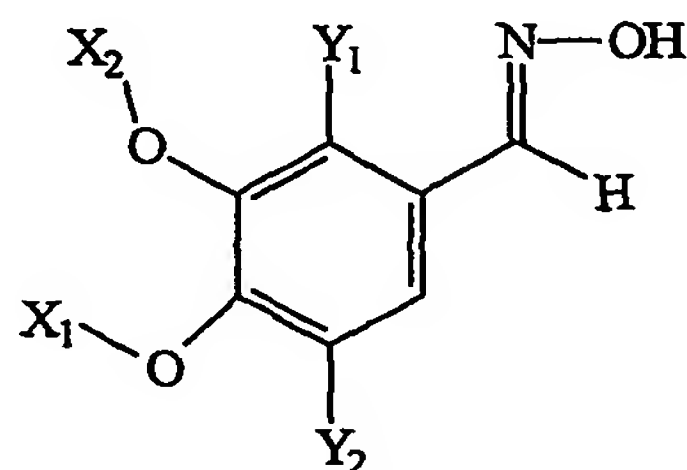
Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;

NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same

as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring

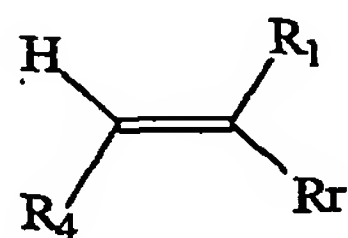
27 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
 28 heteroatoms selected from N, O or S;

29 reacting the compound of Formula III with hydroxylamine hydrochloride to give a
 30 compound of Formula IV;

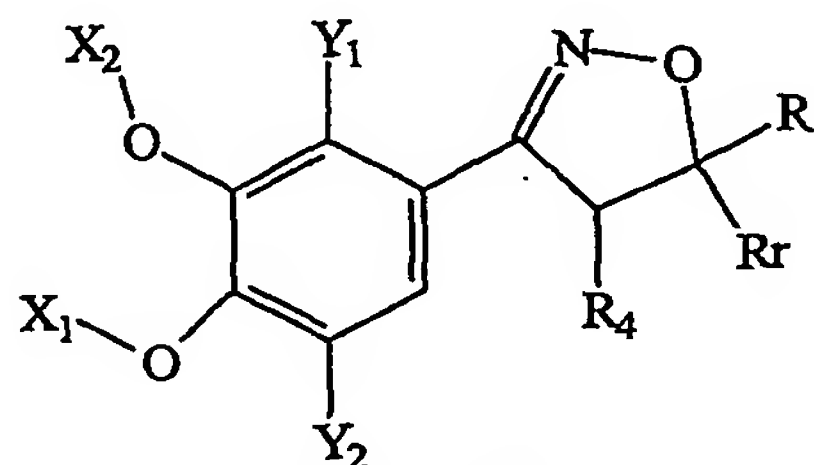


Formula IV

37 treating the compound of Formula IV with a compound of Formula V to give a
 38 compound of Formula VI



Formula V



Formula VI

44 wherein

45 R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;
 46 substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

47 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,
 48 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

49 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$
 50 (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

51 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}
 52 alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
 53 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

54 $(CH_2)_m-C(=O)R_3$

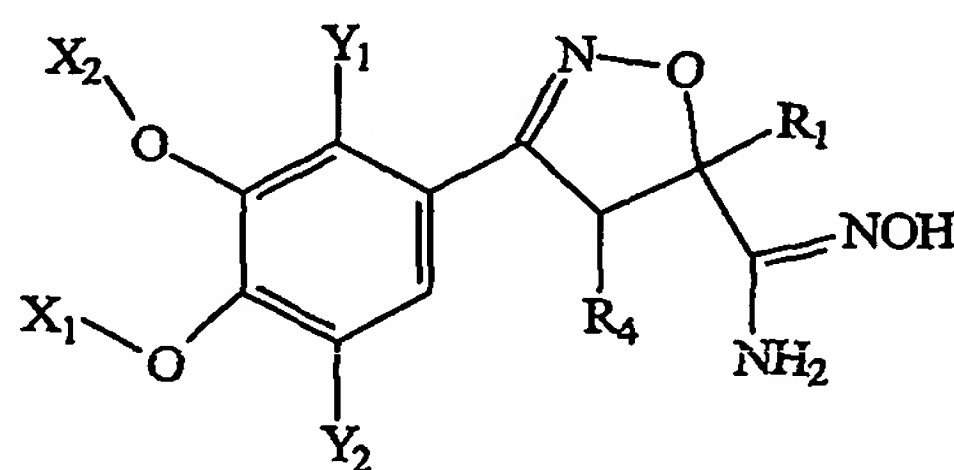
55 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted

R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C_1 - C_6 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, $C(=O)NR_5R_6$ (wherein R_5 and R_6 are independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $C(=O)NR_xR_y$ wherein R_x and R_y are the same as defined above;

and R_r represents $[(CH_2)_nCN, COOH, COOCH_3, CHO$ or pyridyl, wherein n is 0 to 2)];

reacting the compound of Formula VI with hydroxylamine hydrochloride (when R_r is CN) to give a compound of Formula VII; and

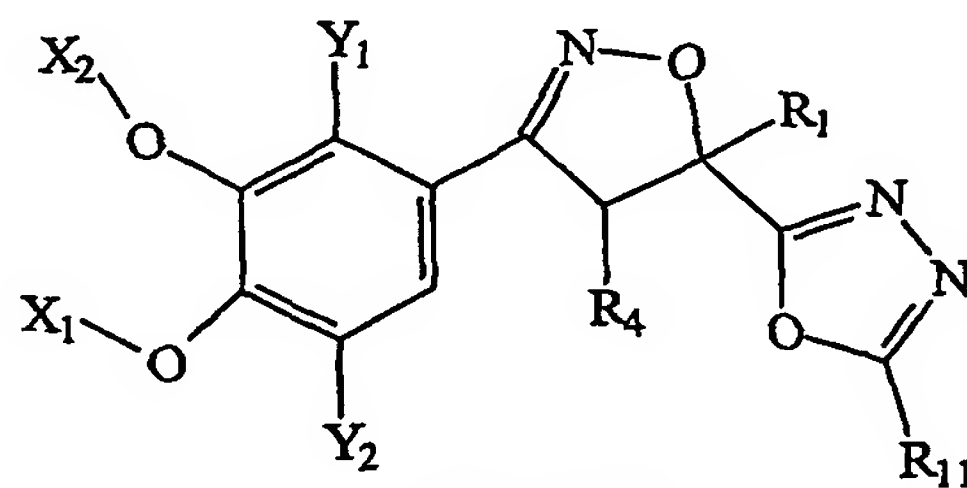


Formula VII

reacting the compound of Formula VII with a compound of Formula $(R'CO)_2O$ to give the compound of Formula VII(a) (wherein R' can be hydrogen, alkyl, alkenyl,

alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl).

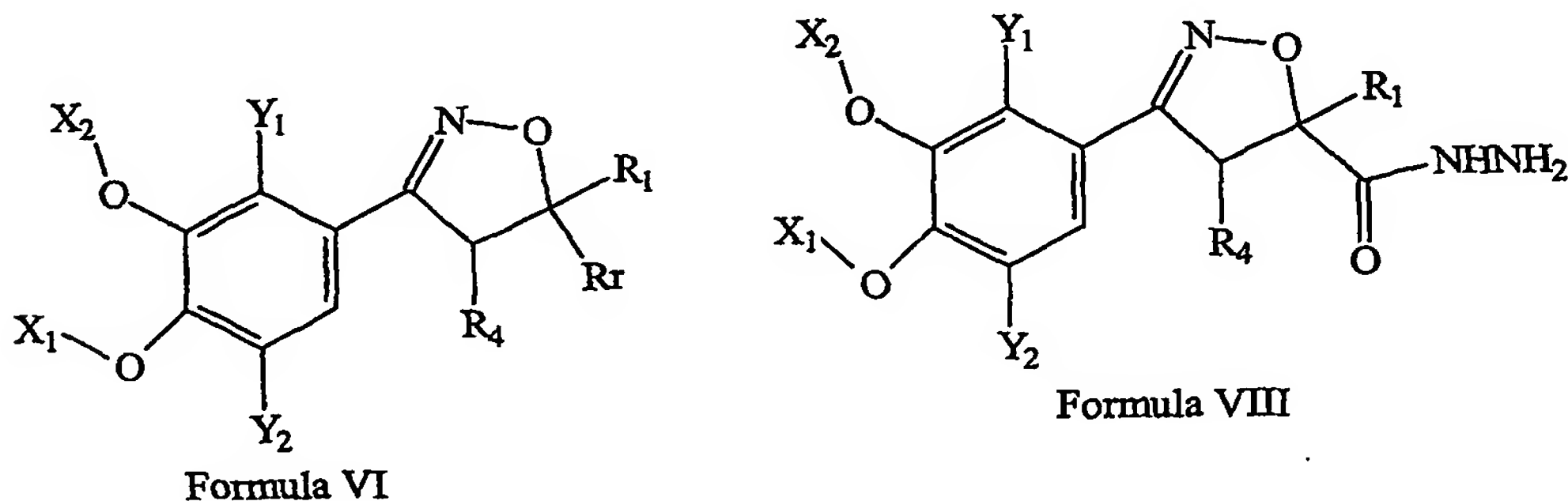
14. A method for the preparation of compounds of Formula IX,



Formula IX

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula VI (when R_r is COOCH₃) with hydrazine hydrate to give a compounds of Formula VIII



Formula VI

Formula VIII

wherein

R₁ is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH₂)₁₋₄OR' (wherein R' is as defined above, but also including hydroxy); C(=O)NR_xR_y

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

28 $(\text{CH}_2)_m\text{-C(=O)R}_3$

29 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted
30 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
31 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
32 ring can be attached to $(\text{CH}_2)_m\text{C(=O)}$ through N and R_q can be a 4-12 membered
33 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
34 from the group consisting of N, O and S wherein the ring can be attached to
35 $(\text{CH}_2)_m\text{C(=O)}$ through C) and wherein the substituents of R_3 can be one or more
36 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
37 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
38 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
39 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
40 $\text{C(=O)NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
41 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
42 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
43 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
44 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
45 heterocyclylalkyl];

46 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C(=O)NR}_x\text{R}_y$ wherein
47 R_x and R_y are the same as defined above;

48 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
49 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

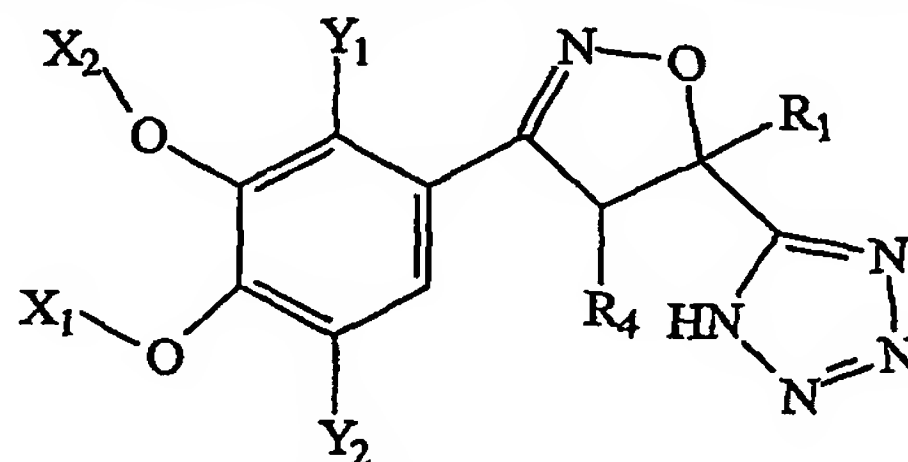
50 Y is selected from: an oxygen atom; a sulphur atom; or NR
51 (wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated)
52 cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or
53 (heterocyclyl)alkyl);

54 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
55 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
56 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same
57 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring

fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

reacting the compound of Formula VIII with a compound of Formula $\text{HC}(\text{OR}_{11})_3$ to give a compound of Formula IX (wherein R_{11} represents alkyl from C_1 to C_3).

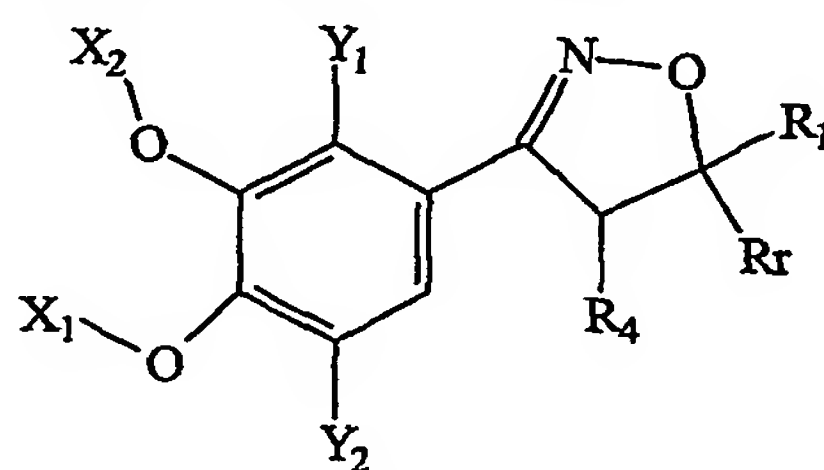
15. A method for the preparation of compounds of Formula X,



Formula X

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula VI (when Rr is CN)



Formula VI

wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(\text{CH}_2)_{1-4}\text{OR}'$

(wherein R' is as defined above, but also including hydroxy); $\text{C}(=\text{O})\text{NR}_x\text{R}_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}

alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(\text{CH}_2)_m\text{-C}(=\text{O})\text{R}_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C_1 - C_6 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, $C(=O)NR_5R_6$ (wherein R_5 and R_6 are independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclalkyl];

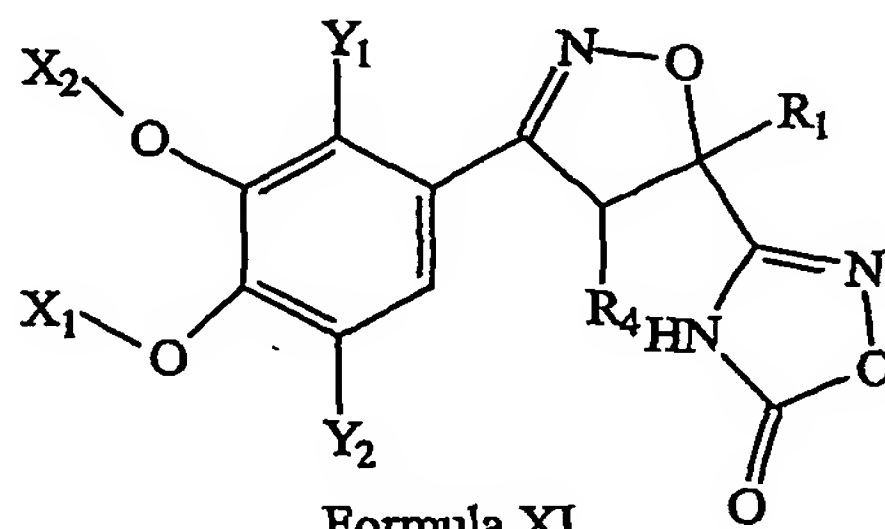
R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $C(=O)NR_xR_y$ wherein R_x and R_y are the same as defined above;

X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; $COOR'$; or COR' wherein R' is the same as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

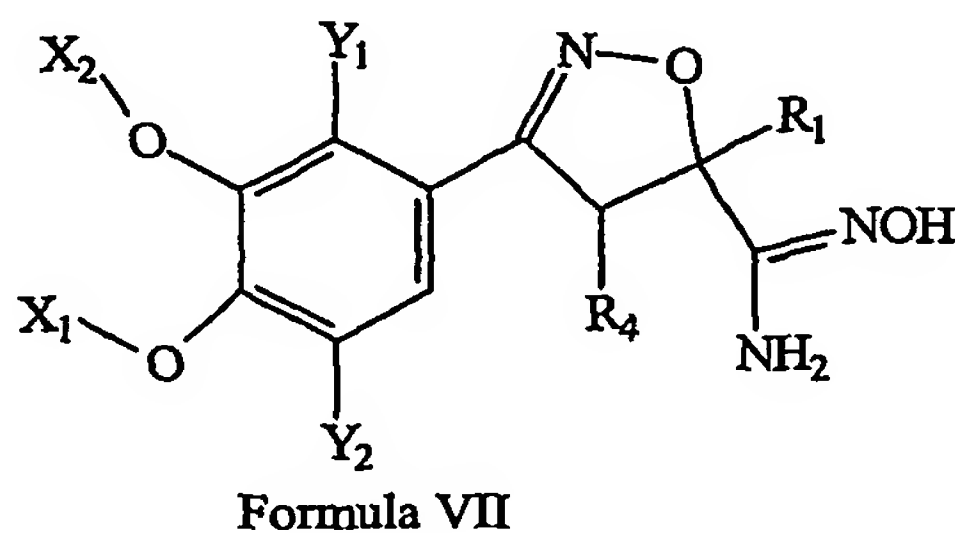
with sodium azide to give the compound of Formula X.

16. A method for the preparation of compounds of Formula XI,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula VII



wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$

(wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the

28 ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through N and R_q can be a 4-12 membered
29 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
30 from the group consisting of N, O and S wherein the ring can be attached to
31 $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more
32 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
33 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
34 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
35 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
36 $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
37 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
38 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
39 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
40 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
41 heterocyclalkyl];

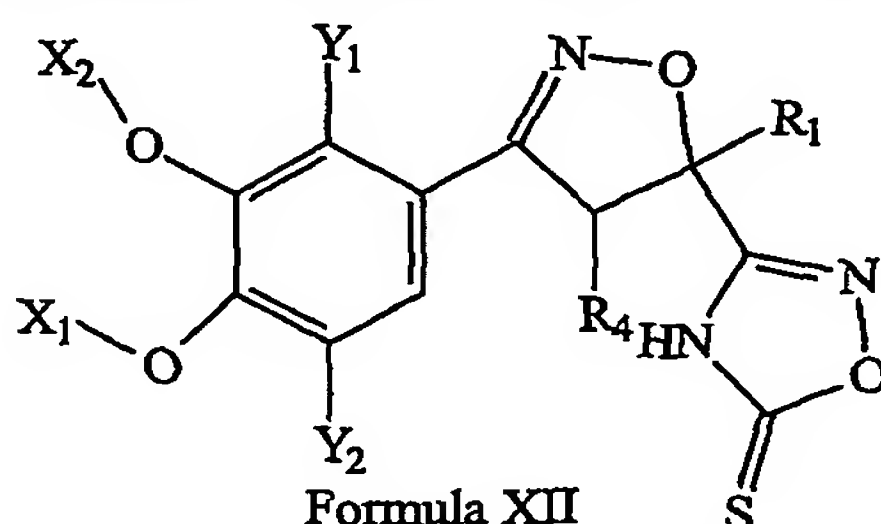
42 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein
43 R_x and R_y are the same as defined above;

44 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
45 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

46 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
47 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
48 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same
49 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
50 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
51 heteroatoms selected from N, O or S;

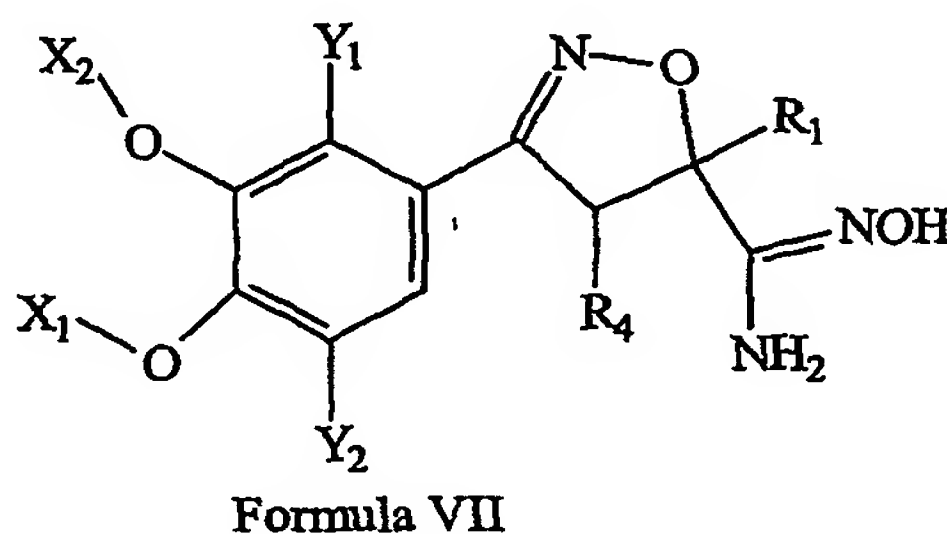
52 with methyl chloroformate to give the compound of Formula XI.

17. A method for the preparation of compounds of Formula XII,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting compounds of Formula VII



wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$

(wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered

30 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
31 from the group consisting of N, O and S wherein the ring can be attached to
32 $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more
33 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
34 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
35 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
36 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
37 $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
38 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
39 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
40 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
41 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
42 heterocyclylalkyl];

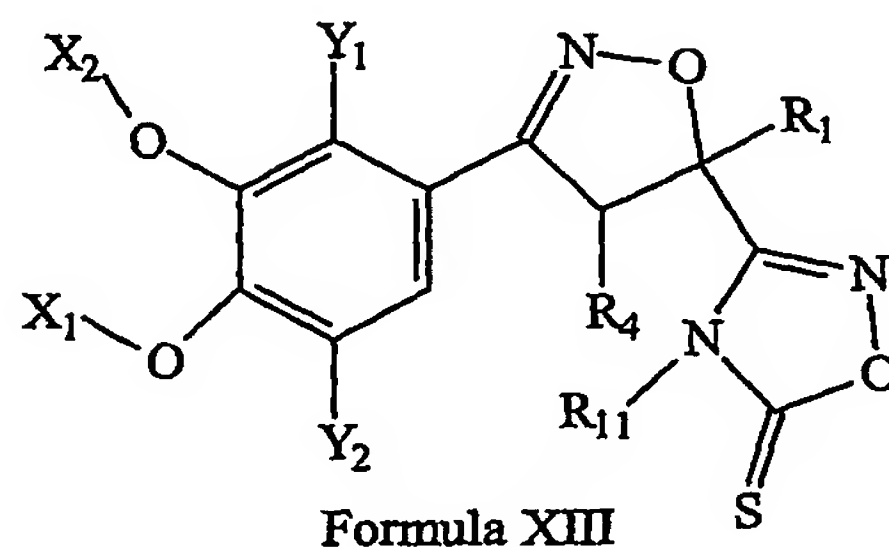
43 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein
44 R_x and R_y are the same as defined above;

45 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
46 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

47 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
48 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
49 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same
50 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
51 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
52 heteroatoms selected from N, O or S;

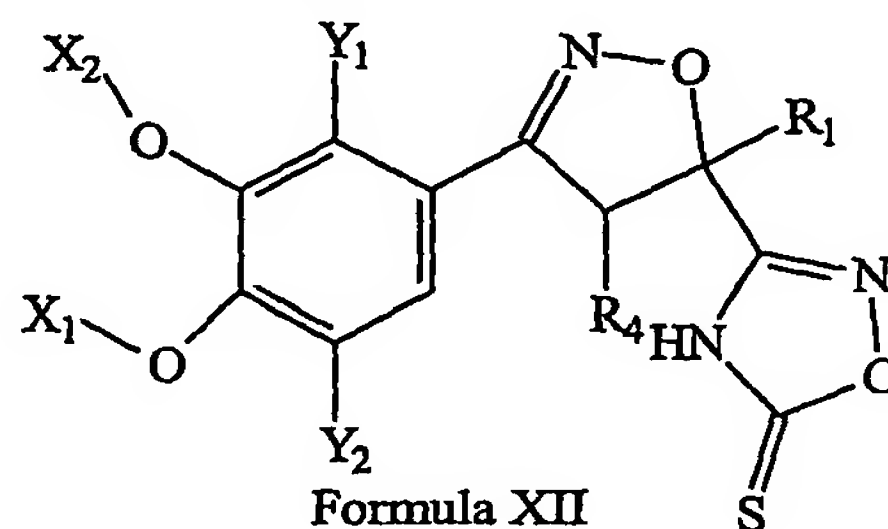
53 with thiocarbonyl diimidazole and 1,8-diazabicyclo[5.4.0]undec-7-one to give the
54 compound of Formula XII.

18. A method for the preparation of compounds of Formula XIII,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

treating a compounds of Formula XII,



wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered

31 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
32 from the group consisting of N, O and S wherein the ring can be attached to
33 $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more
34 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
35 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
36 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
37 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
38 $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
39 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
40 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
41 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
42 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
43 heterocyclylalkyl];

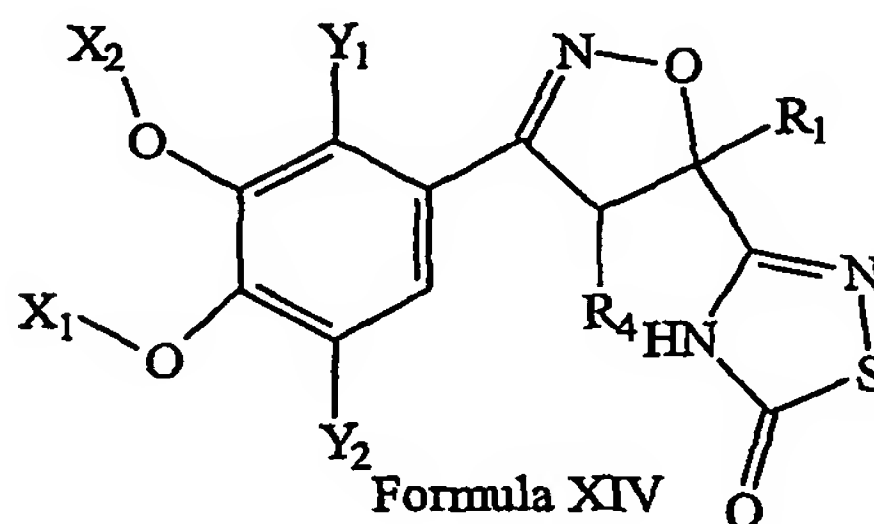
44 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein
45 R_x and R_y are the same as defined above;

46 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
47 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

48 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
49 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
50 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same
51 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
52 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
53 heteroatoms selected from N, O or S;

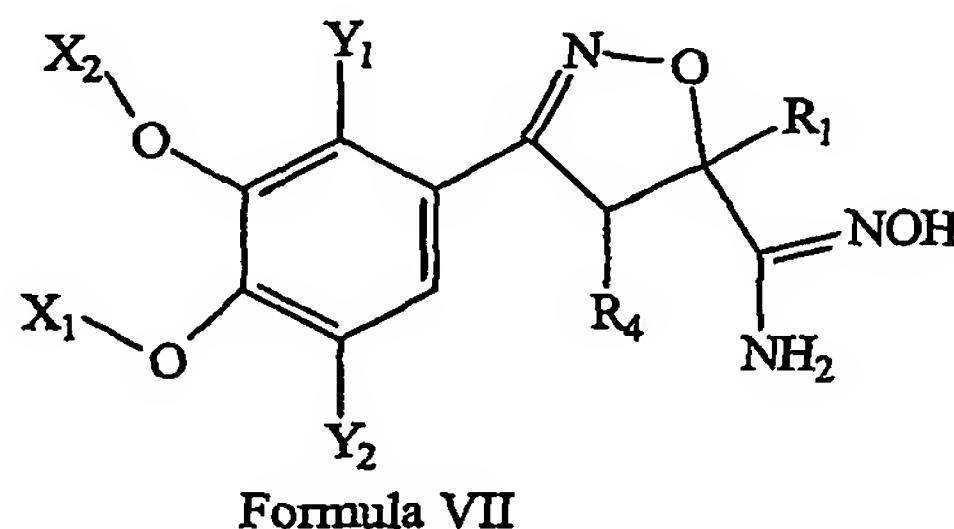
54 with a compound of Formula R_{11}Z (wherein Z is halogen) to gives the compound
55 of Formula XIII (wherein R_{11} is alkyl).

19. A method for the preparation of compounds of Formula XIV,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula VII



wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted

22 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
23 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
24 ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered
25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
26 from the group consisting of N, O and S wherein the ring can be attached to
27 $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more
28 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
29 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
30 optionally substituted amino (wherein the substituents are selected from C_1 - C_6
31 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
32 $C(=O)NR_5R_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
33 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
35 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
36 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
37 heterocyclalkyl];

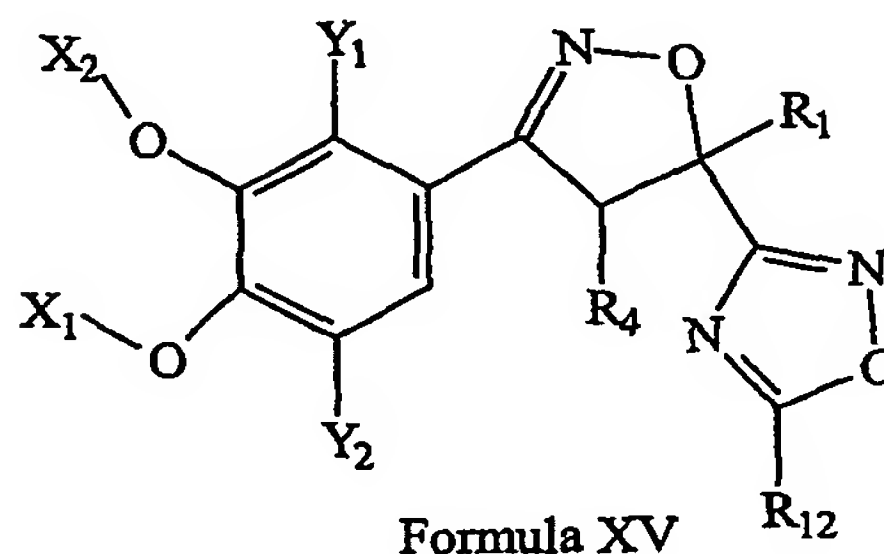
38 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $C(=O)NR_xR_y$ wherein
39 R_x and R_y are the same as defined above;

40 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
41 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

42 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
43 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
44 NHR wherein R is the same as defined earlier; $COOR'$; or COR' wherein R' is the same
45 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
46 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
47 heteroatoms selected from N, O or S;

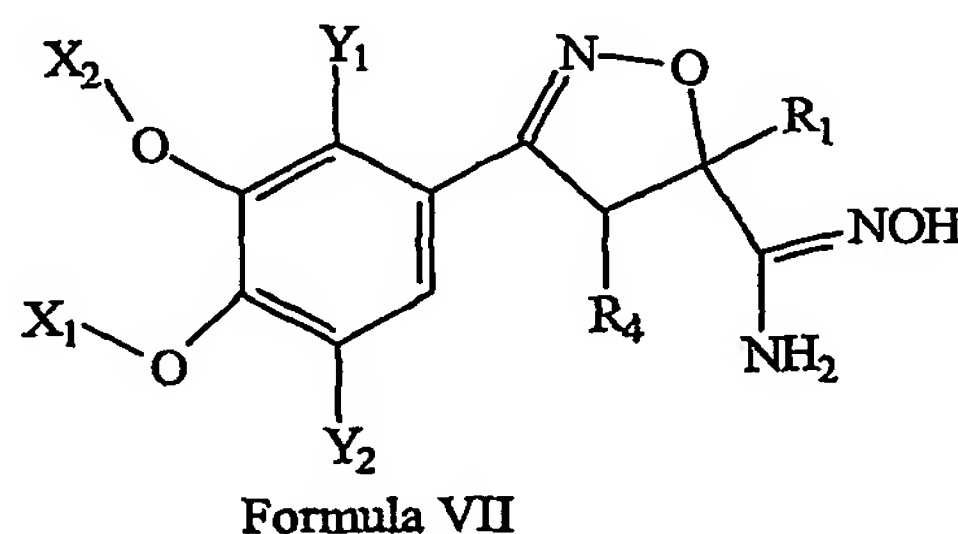
48 with thiocarbonyl diimidazole and boron trifluoride etherate to give the compound
49 of Formula XIV.

20. A method for the preparation of compounds of Formula XV,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, the method comprising:

reacting compounds of Formula VII



wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$

(wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}

alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted

R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or

bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the

26 ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through N and R_q can be a 4-12 membered
27 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
28 from the group consisting of N, O and S wherein the ring can be attached to
29 $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more
30 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
31 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
32 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
33 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
34 $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
35 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
36 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
37 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
38 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
39 heterocyclylalkyl];

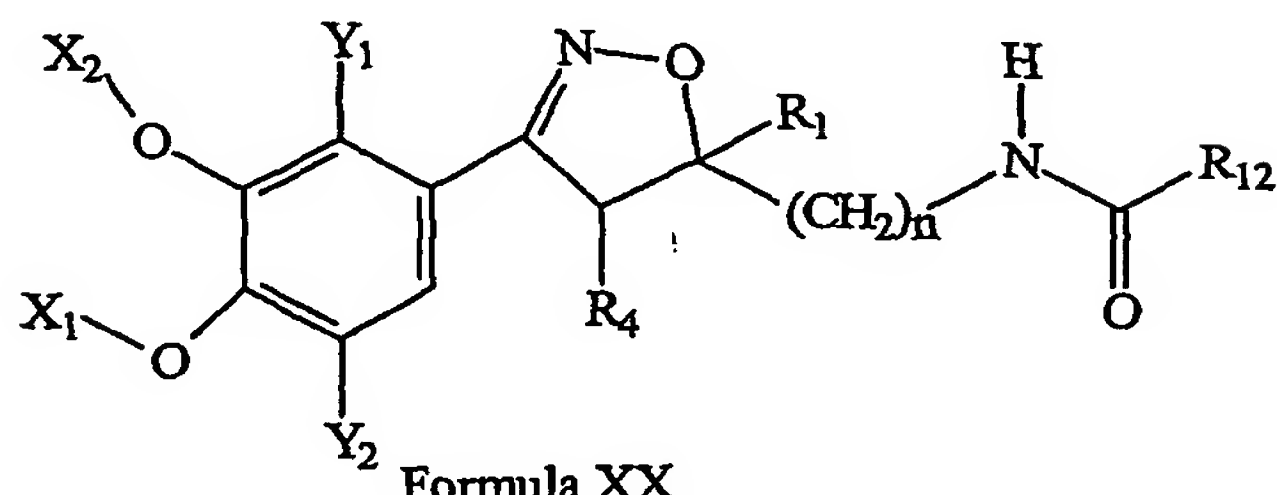
40 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein
41 R_x and R_y are the same as defined above;

42 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
43 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

44 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
45 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
46 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same
47 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
48 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
49 heteroatoms selected from N, O or S;

50 with compounds of Formula (a) R_{12}COOH ; (b) R_{12}COCl or (c) $\text{R}_{12}\text{COOC}_2\text{H}_5$ to
51 give the compound of Formula XV (wherein R_{12} is alkyl, cycloalkyl, aryl,
52 heteroaryl or heterocyclyl).

21. A method for the preparation of compounds of Formula XX,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

R₁ is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH₂)₁₋₄OR' (wherein R' is as defined above, but also including hydroxy); C(=O)NR_xR_y

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

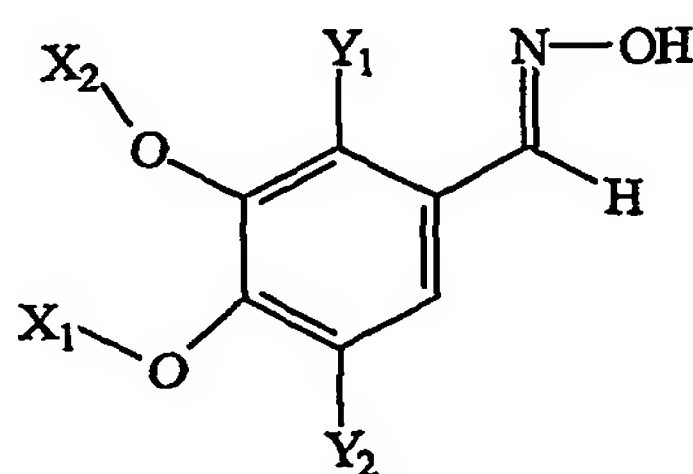
(CH₂)_m-C(=O)R₃

[wherein m is an integer in the range of 0-2 and R₃ can be optionally substituted R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to (CH₂)_mC(=O) through N and R_q can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to (CH₂)_mC(=O) through C) and wherein the substituents of R₃ can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C₁-C₆ alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR₅R₆ (wherein R₅ and R₆ are independently selected from hydrogen,

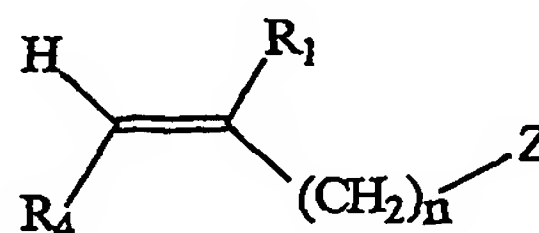
- 32 alkyl, C₃₋₆ alkenyl, C₃₋₆ alkynyl, aryl, and aralkyl), optionally substituted
 33 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
 34 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
 35 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
 36 heterocyclalkyl];
- 37 R₄ is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR_xR_y wherein
 38 R_x and R_y are the same as defined above;
- 39 X₁ and X₂ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
 40 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclalkyl);
- 41 Y₁ and Y₂ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
 42 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
 43 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
 44 as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring
 45 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
 46 heteroatoms selected from N, O or S; and
- 47 R₁₂ is alkyl, cycloalkyl, aryl, heteroaryl or heterocyclalkyl;

48 the method comprising:

49 reacting a compound of Formula IV with a compound of Formula XVI

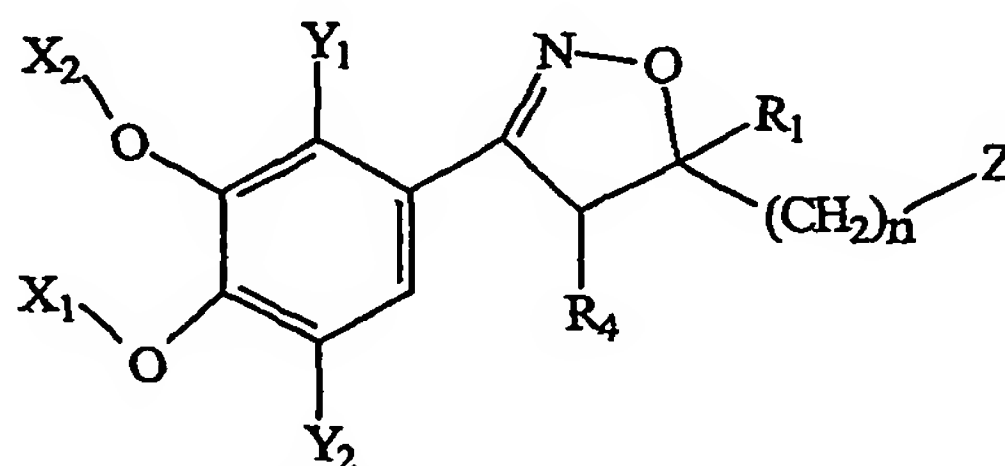


Formula IV



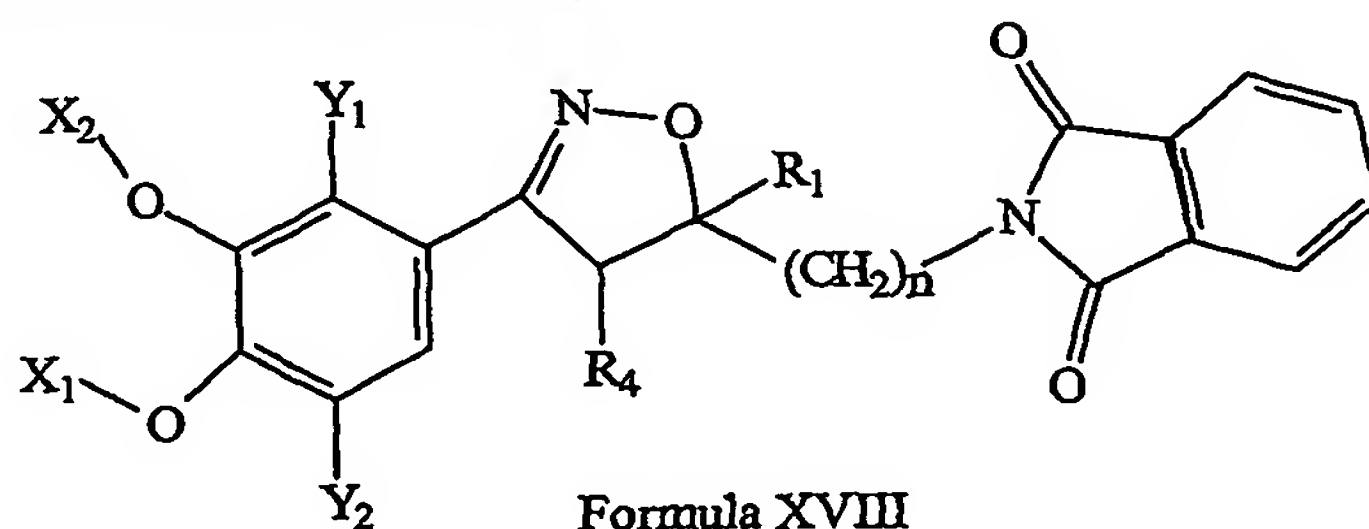
Formula XVI

56 to give a compound of Formula XVII;

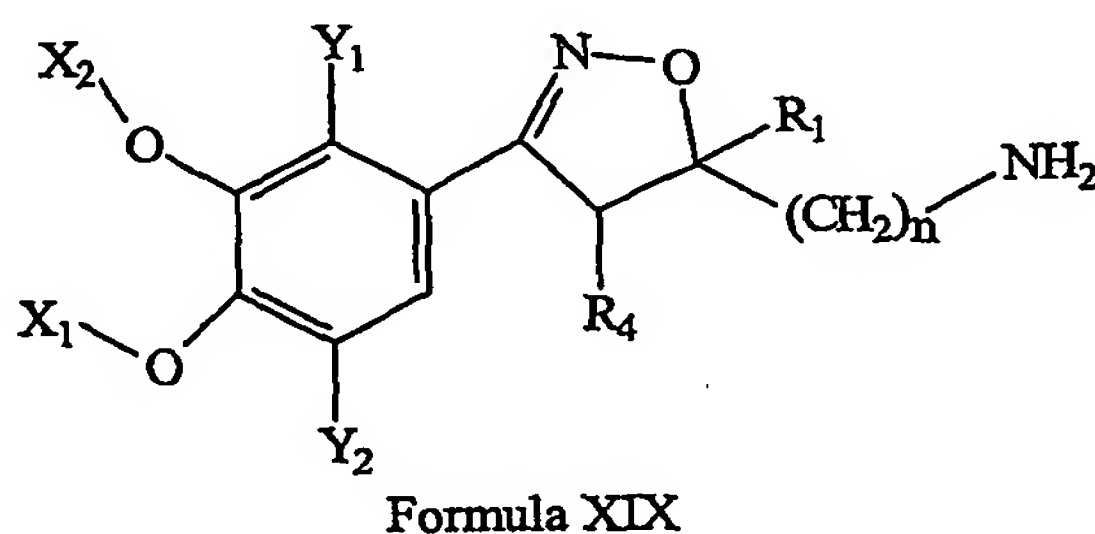


Formula XVII

treating the compound of Formula XVII with potassium phthalamide to give a compound of Formula XVIII;

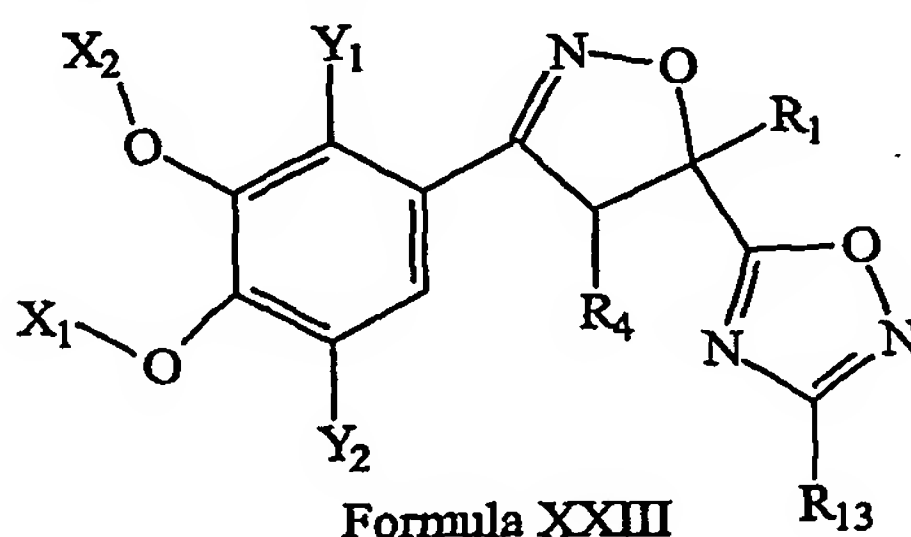


treating the compound of Formula XVIII with a hydrazine hydrate to give a compound of Formula XIX; and



treating the compound of Formula XIX with a compound of Formula $R_{12}COCl$ or $R_{12}COOH$ to give the compound of Formula XX.

22. A method for the preparation of compounds of Formula XXIII,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

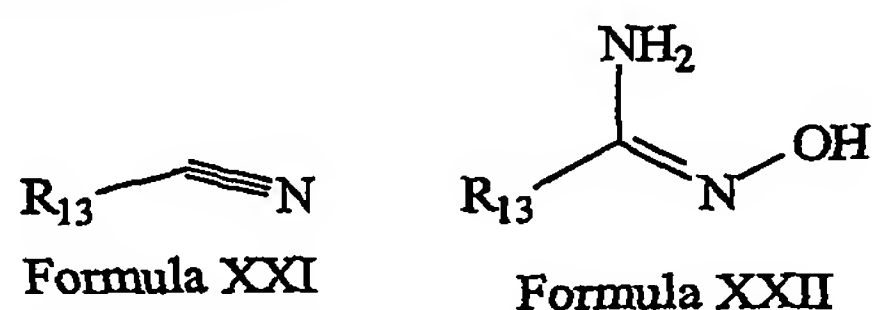
14 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);
 15 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(\text{CH}_2)_{1-4}\text{OR}'$
 16 (wherein R' is as defined above, but also including hydroxy); $\text{C}(=\text{O})\text{NR}_x\text{R}_y$
 17 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}
 18 alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
 19 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or
 20 $(\text{CH}_2)_m\text{-C}(=\text{O})\text{R}_3$
 21 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted
 22 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
 23 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
 24 ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through N and R_q can be a 4-12 membered
 25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
 26 from the group consisting of N, O and S wherein the ring can be attached to
 27 $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more
 28 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
 29 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
 30 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
 31 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
 32 $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
 33 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
 34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
 35 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
 36 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
 37 heterocyclylalkyl];
 38 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein
 39 R_x and R_y are the same as defined above;
 40 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
 41 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
 42 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
 43 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
 44 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same

as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S; and

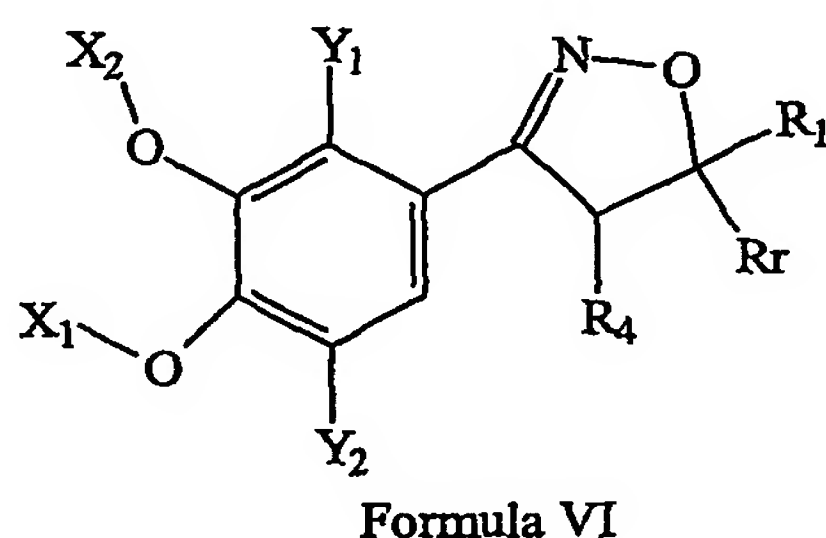
R_{13} is alkyl, aryl or heteroaryl;

the method comprising

reacting compounds of Formula XXI with hydroxylamine hydrochloride to give compounds of Formula XXII,

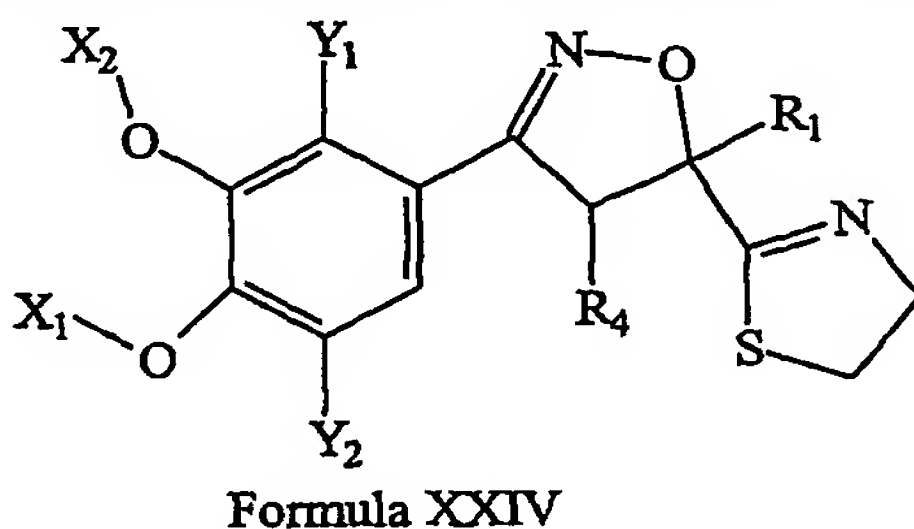


which on reaction with compounds of Formula VI (when Rr is COOH),



gives compounds of Formula XXIII.

23. A method for the preparation of compounds of Formula XXIV,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

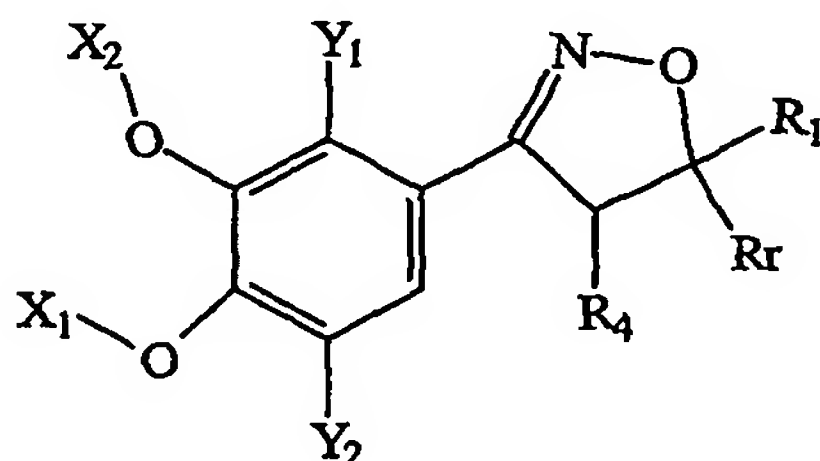
(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

13 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);
 14 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(\text{CH}_2)_{1-4}\text{OR}'$
 15 (wherein R' is as defined above, but also including hydroxy); $\text{C}(=\text{O})\text{NR}_x\text{R}_y$
 16 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}
 17 alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
 18 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or
 19 $(\text{CH}_2)_m\text{C}(=\text{O})\text{R}_3$
 20 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted
 21 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
 22 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
 23 ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through N and R_q can be a 4-12 membered
 24 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
 25 from the group consisting of N, O and S wherein the ring can be attached to
 26 $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more
 27 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
 28 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
 29 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
 30 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
 31 $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
 32 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
 33 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
 34 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
 35 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
 36 heterocyclylalkyl];
 37 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein
 38 R_x and R_y are the same as defined above;
 39 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
 40 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
 41 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
 42 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
 43 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same

as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

the method comprising:

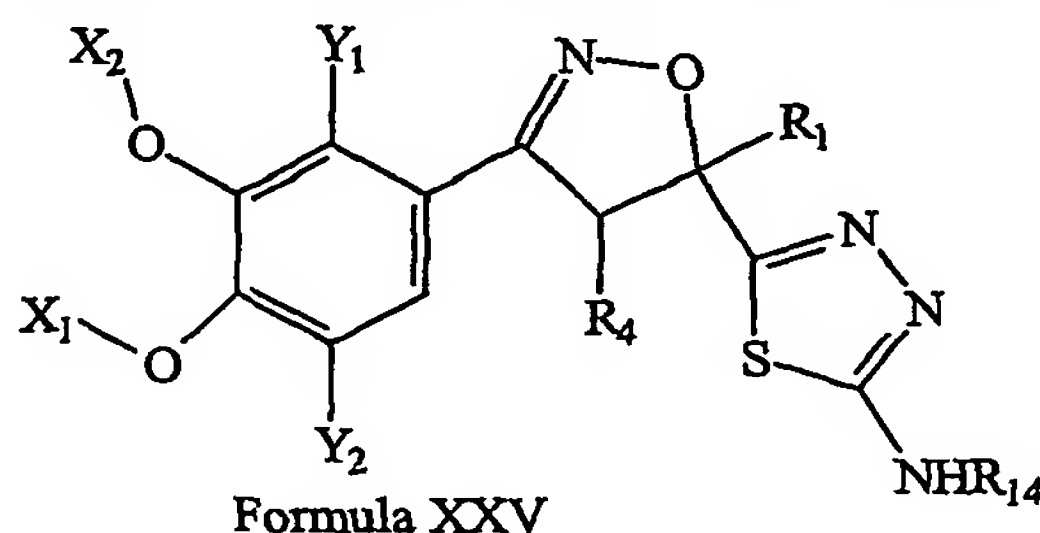
reacting a compound of Formula VI (when R_r is CN)



Formula VI

with $NH_2CH_2CH_2SH \cdot HCl$ to give the compounds of Formula XXIV.

24. A method for the preparation of compounds of Formula XXV,



Formula XXV

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; $COOR'$

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(CH_2)_{1-4}OR'$ (wherein R' is as defined above, but also including hydroxy); $C(=O)NR_xR_y$

(wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

$(CH_2)_m-C(=O)R_3$

[wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted

75 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
76 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
77 ring can be attached to $(CH_2)_mC(=O)$ through N and R_q can be a 4-12 membered
78 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
79 from the group consisting of N, O and S wherein the ring can be attached to
80 $(CH_2)_mC(=O)$ through C) and wherein the substituents of R_3 can be one or more
81 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
82 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
83 optionally substituted amino (wherein the substituents are selected from C_1 - C_6
84 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
85 $C(=O)NR_5R_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
86 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
87 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
88 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
89 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
90 heterocyclylalkyl];

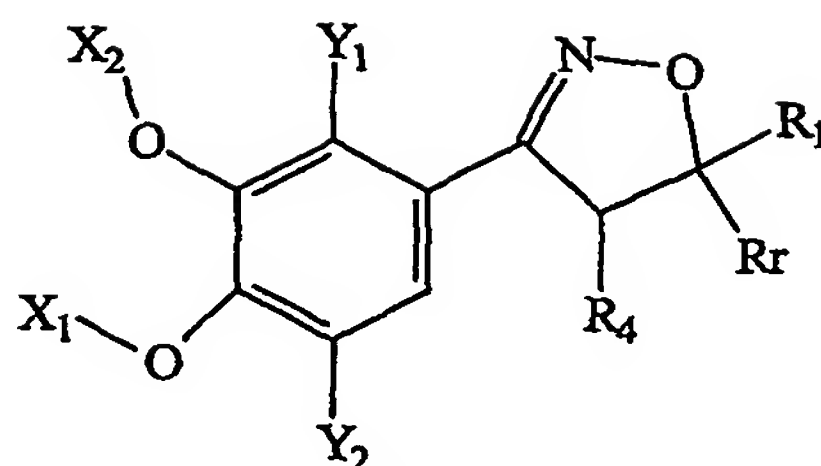
91 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $C(=O)NR_xR_y$ wherein
92 R_x and R_y are the same as defined above;

93 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
94 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

95 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
96 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
97 NHR wherein R is the same as defined earlier; $COOR'$; or COR' wherein R' is the same
98 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
99 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
100 heteroatoms selected from N, O or S;

101 the method comprising:

102 reacting a Formula VI

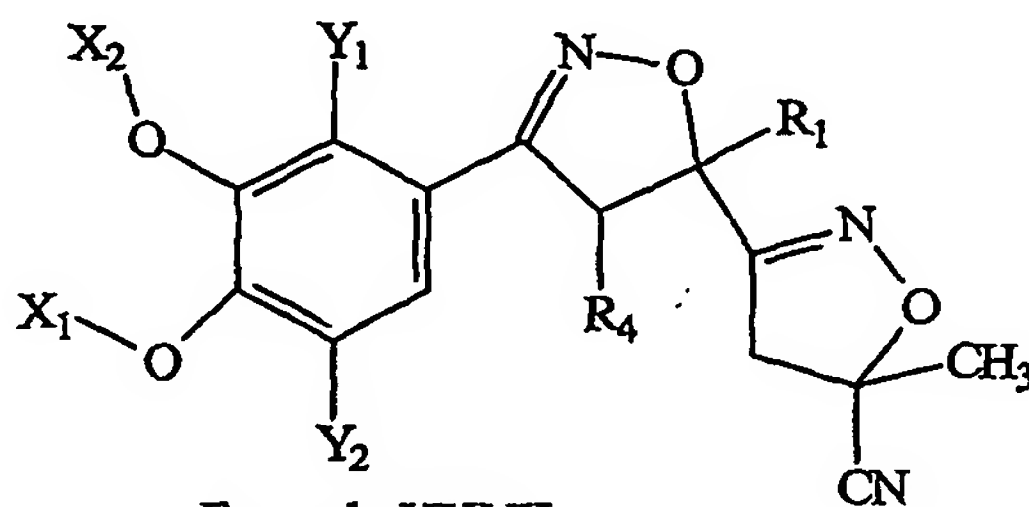


Formula VI

103

104 (wherein Rr is COOH) with $\text{NH}_2\text{NHCSNHR}_{14}$ (wherein R_{14} represents hydrogen,
105 alkyl or cycloalkyl) to give the compound of Formula XXV.

1 25. A method for the preparation of compounds of Formula XXVII,



Formula XXVII

8 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,
9 enantiomers, diastereomers or N-oxides,

10 wherein

11 R_1 is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;
12 substituted amino; hydroxyl; alkoxy; aryloxy; COR' ; COOR'

13 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,
14 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);
15 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(\text{CH}_2)_{1-4}\text{OR}'$

16 (wherein R' is as defined above, but also including hydroxy); $\text{C}(=\text{O})\text{NR}_x\text{R}_y$

17 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}
18 alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
19 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

20 $(\text{CH}_2)_m\text{-C}(=\text{O})\text{R}_3$

21 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted
22 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or

23 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
24 ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through N and R_q can be a 4-12 membered
25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
26 from the group consisting of N, O and S wherein the ring can be attached to
27 $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more
28 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
29 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
30 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
31 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
32 $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
33 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
35 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
36 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
37 heterocyclylalkyl];

38 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein
39 R_x and R_y are the same as defined above;

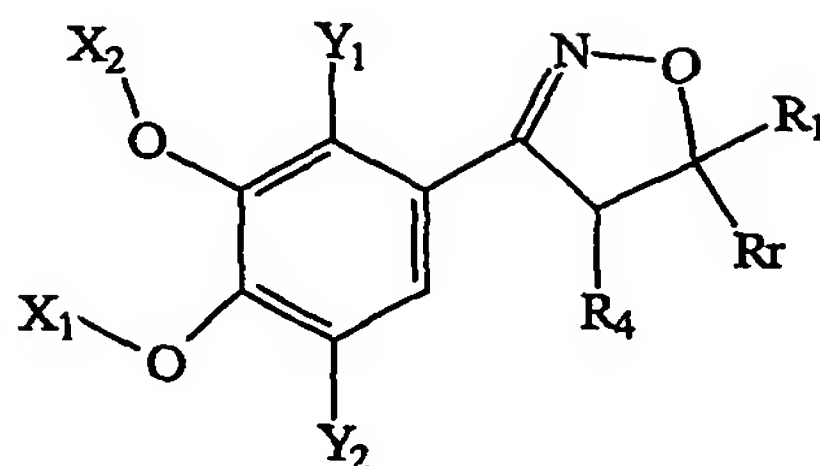
40 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
41 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

42 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
43 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;

44 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same
45 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
46 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
47 heteroatoms selected from N, O or S;

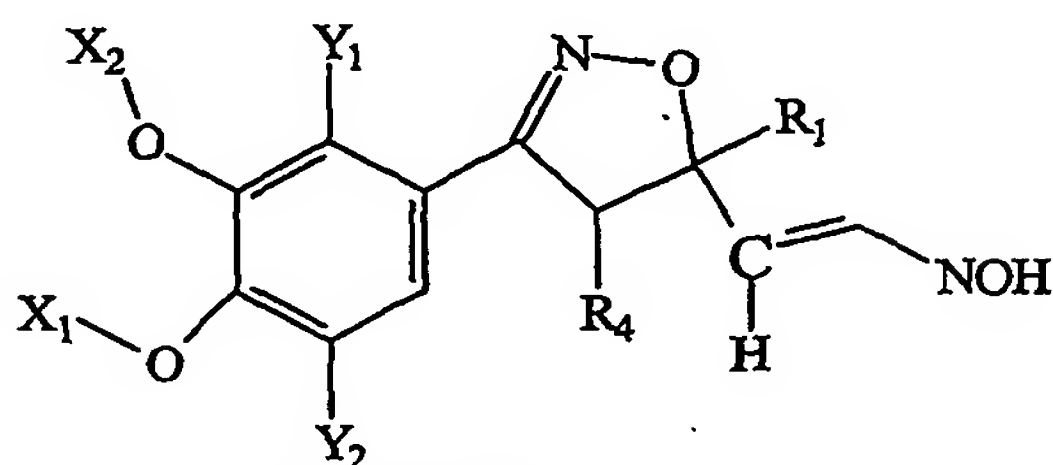
48 the method comprising:

reacting a compound of Formula VI



Formula VI

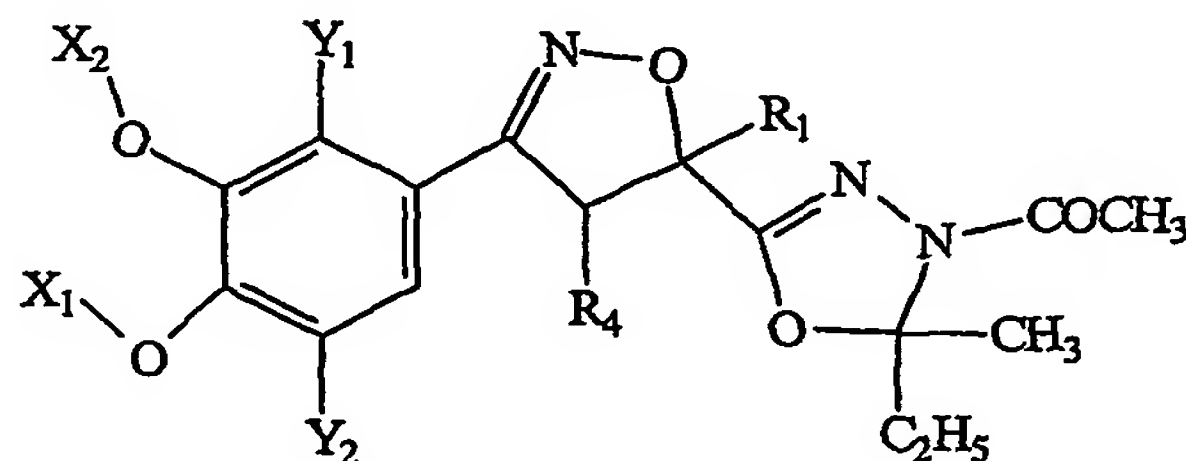
(wherein Rr is CHO) with hydroxylamine hydrochloride to give a compound of Formula XXVI; and



Formula XXVI

reacting the compound of Formula XXVI with methacrylonitrile to give the compound of Formula XXVII.

26. A method for the preparation of compounds of Formula XXIX,



Formula XXIX

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

R₁ is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

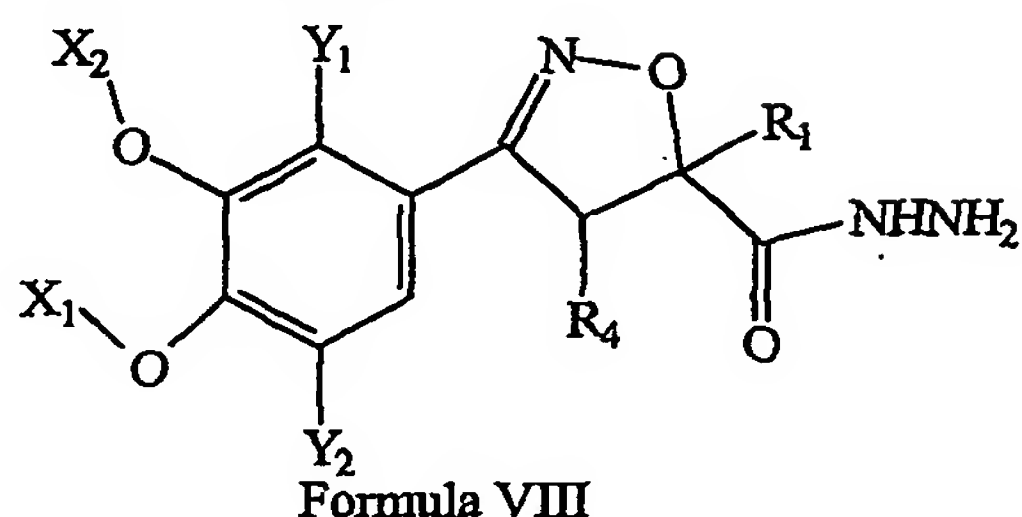
(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

- 15 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(\text{CH}_2)_{1-4}\text{OR}'$
 16 (wherein R' is as defined above, but also including hydroxy); $\text{C}(=\text{O})\text{NR}_x\text{R}_y$
 17 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}
 18 alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
 19 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or
 20 $(\text{CH}_2)_m\text{-C}(=\text{O})\text{R}_3$
 21 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted
 22 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
 23 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
 24 ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through N and R_q can be a 4-12 membered
 25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
 26 from the group consisting of N, O and S wherein the ring can be attached to
 27 $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more
 28 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
 29 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
 30 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
 31 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
 32 $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
 33 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
 34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
 35 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
 36 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
 37 heterocyclylalkyl];
 38 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein
 39 R_x and R_y are the same as defined above;
 40 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
 41 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
 42 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
 43 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
 44 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same
 45 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring

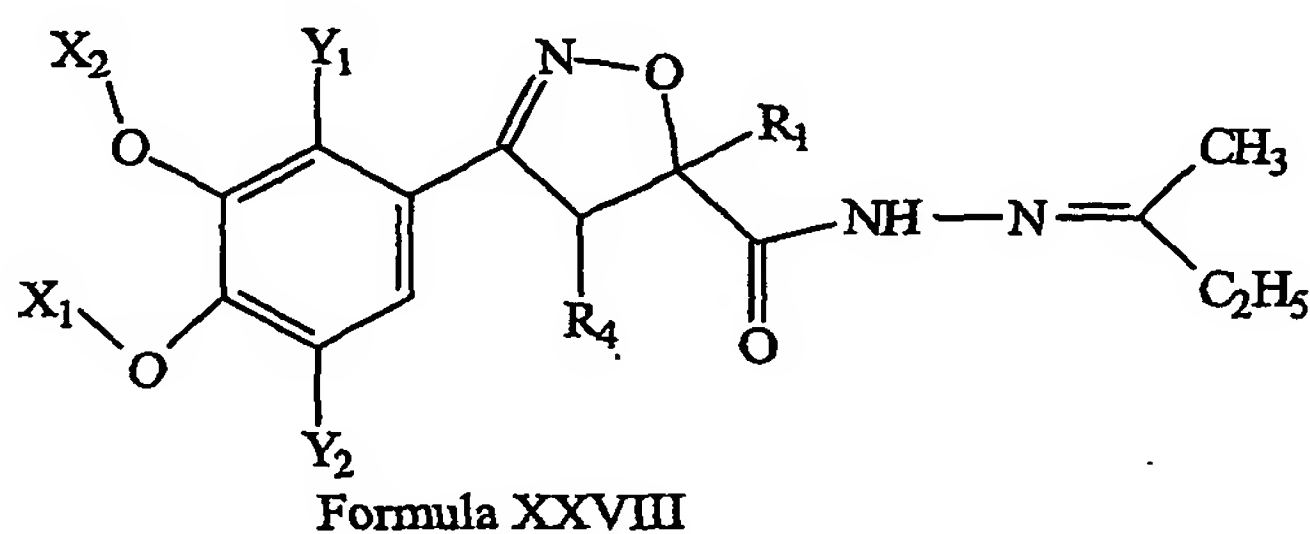
fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S;

the method comprising:

reacting a compound of Formula VIII

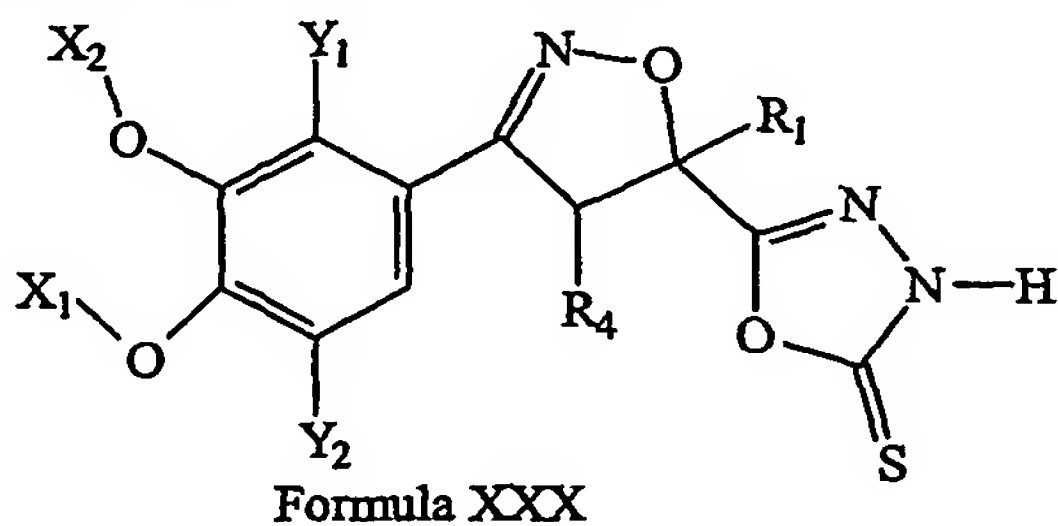


with ethylmethylketone to give a compound of Formula XXVIII; and



treating the compound of Formula XXVIII with acetic anhydride to give the compound of Formula XXIX.

27. A process for the preparation of compounds of Formula XXX,



their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, enantiomers, diastereomers or N-oxides, wherein

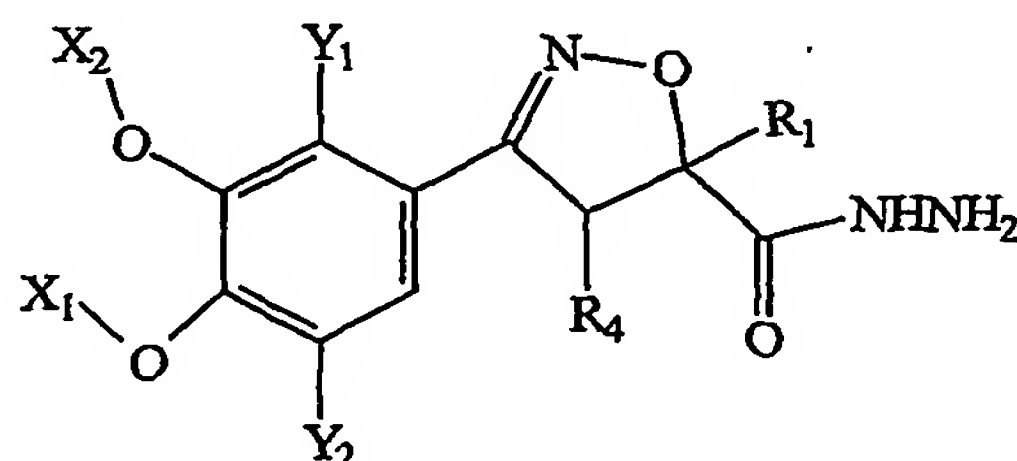
R₁ is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

13 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);
 14 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; $(\text{CH}_2)_{1-4}\text{OR}'$
 15 (wherein R' is as defined above, but also including hydroxy); $\text{C}(=\text{O})\text{NR}_x\text{R}_y$
 16 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C_{3-6}
 17 alkenyl, C_{3-6} alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
 18 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or
 19 $(\text{CH}_2)_m\text{-C}(=\text{O})\text{R}_3$
 20 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted
 21 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
 22 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
 23 ring can be attached to $(\text{CH}_2)_m\text{C}(=\text{O})$ through N and R_q can be a 4-12 membered
 24 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
 25 from the group consisting of N, O and S wherein the ring can be attached to
 26 $(\text{CH}_2)_m\text{C}(=\text{O})$ through C) and wherein the substituents of R_3 can be one or more
 27 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
 28 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
 29 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
 30 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
 31 $\text{C}(=\text{O})\text{NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
 32 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
 33 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
 34 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
 35 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
 36 heterocyclylalkyl];
 37 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C}(=\text{O})\text{NR}_x\text{R}_y$ wherein
 38 R_x and R_y are the same as defined above;
 39 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
 40 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
 41 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
 42 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
 43 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same

44 as defined above, or further, Y₁ and X₂, X₁ and Y₂, X₁ and X₂ may together form a ring
 45 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
 46 heteroatoms selected from N, O or S;

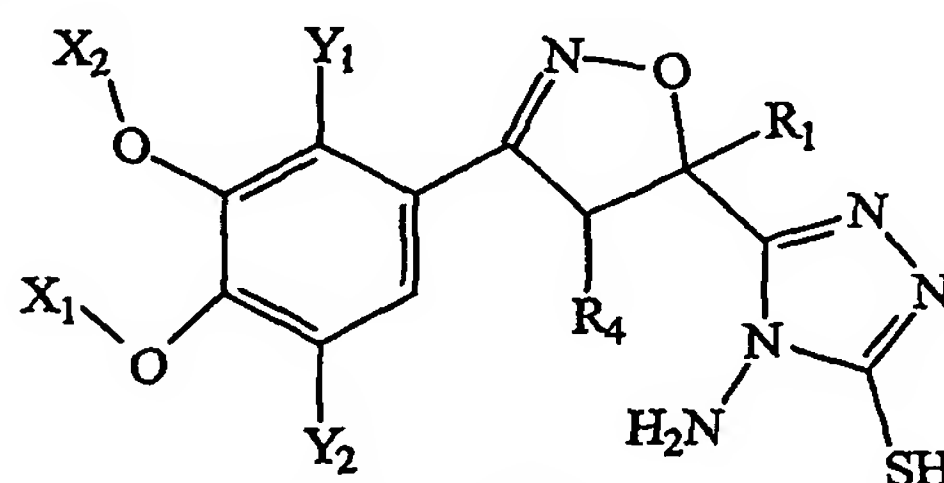
47 the method comprising reacting a compound of Formula VIII



Formula VIII

48
 49 with carbon disulphide to give the compound of Formula XXXI.

1 28. A method for the preparation of compounds of Formula XXXI,



Formula XXXI

7
 8 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,
 9 enantiomers, diastereomers or N-oxides,
 10 wherein

11 R₁ is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;
 12 substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

13 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,
 14 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);
 15 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH₂)₁₋₄OR'
 16 (wherein R' is as defined above, but also including hydroxy); C(=O)NR_xR_y

17 (wherein R_x and R_y can be independently selected from hydrogen, alkyl, C₃₋₆
 18 alkenyl, C₃₋₆ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
 19 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

20 $(\text{CH}_2)_m\text{-C(=O)R}_3$

21 [wherein m is an integer in the range of 0-2 and R_3 can be optionally substituted
 22 R_p or R_q (wherein R_p can be a 4-12 membered (un)saturated monocyclic or
 23 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
 24 ring can be attached to $(\text{CH}_2)_m\text{C(=O)}$ through N and R_q can be a 4-12 membered
 25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
 26 from the group consisting of N, O and S wherein the ring can be attached to
 27 $(\text{CH}_2)_m\text{C(=O)}$ through C) and wherein the substituents of R_3 can be one or more
 28 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
 29 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
 30 optionally substituted amino (wherein the substituents are selected from $\text{C}_1\text{-C}_6$
 31 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
 32 $\text{C(=O)NR}_5\text{R}_6$ (wherein R_5 and R_6 are independently selected from hydrogen,
 33 alkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, aryl, and aralkyl), optionally substituted
 34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
 35 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
 36 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
 37 heterocyclylalkyl];

38 R_4 is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or $\text{C(=O)NR}_x\text{R}_y$ wherein
 39 R_x and R_y are the same as defined above;

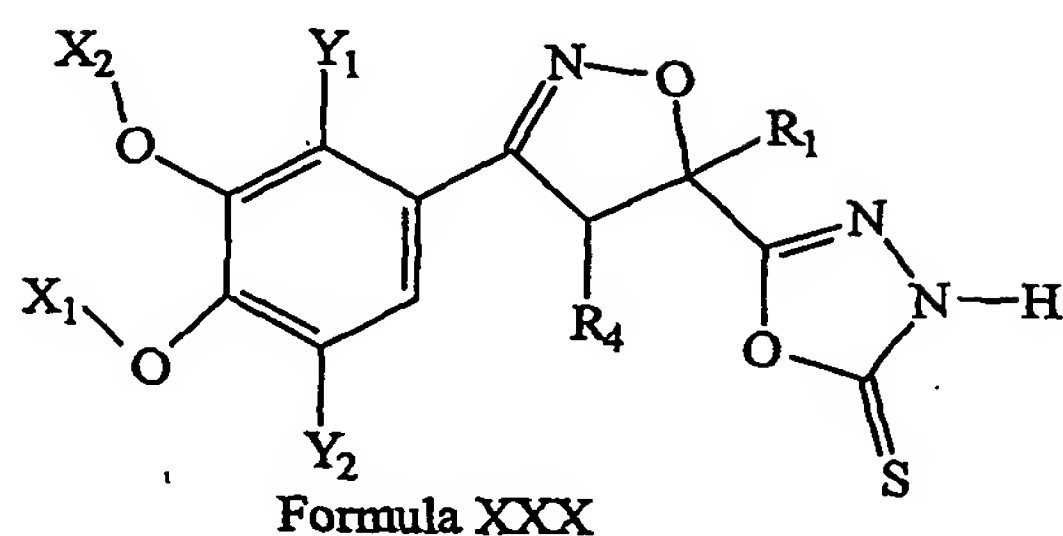
40 X_1 and X_2 are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
 41 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;

42 Y_1 and Y_2 are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
 43 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
 44 NHR wherein R is the same as defined earlier; COOR' ; or COR' wherein R' is the same
 45 as defined above, or further, Y_1 and X_2 , X_1 and Y_2 , X_1 and X_2 may together form a ring
 46 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
 47 heteroatoms selected from N, O or S;

48 the method comprising:

105

49 treating a compound of Formula XXX



56 with hydrazine hydrate to give the compounds of Formula XXXI.